## 10/S44 265 EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1 ·	1	("7067519").PN.	US-PGPUB; USPAT	OR	OFF	2007/07/31 14:39
L2	124	(544/91,544/93,544/94,544/249, 544/250).CCLS.	US-PGPUB; USPAT	OR	OFF	2007/07/31 14:39
L3	0	("I2and2-pyrrolidone").PN.	US-PGPUB; USPAT	OR	OFF	2007/07/31 14:40
L4	0	("I2andpyrrolidone").PN.	US-PGPUB; USPAT	OR	OFF	2007/07/31 14:40

## 10/544,265 CAPLUS

Connecting via Winsock to STN

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OR ?):2 PASSWORD: TERMINAL (ENTER 1, 2, 3, Welcome to STN International

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INPADOC replaced by INPADOCDB on STN
New CAS web site launched
CA/CAplus Indian patent publication number format defined
ROISCLOSURE on STN Easy enhanced with new search and display
                                                                                                                              SISTSCLOSURE reloaded with enhancements
JICST-EPLUS removed from database clusters and STN
GENBANK reloaded and enhanced with Genome Project ID field
GENEMATS enhanced with 1.2 million new records
CA/CAplus enhanced with 1870-1889 U.S. patent records
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           STN Viewer now available STN Viewer now available STN Express, Version 8.2, now available STN Express, Version 8.2, now available STN Express, Version 8.2, now available STN Express coverage updated LMEDLINE coverage updated CHEMCATS cocession numbers revised CHEMCATS accession numbers revised CACAplus enhanced with utility model patents from China CAplus enhanced with French and German abstracts
                                                                                                                                                                                                                                                                                                                                                                                                    BIOSIS reloaded and enhanced with archival data
TOXCENTER enhanced with BIOSIS reload
CA/CAplus enhanced with additional kind codes for German
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 /CAplus enhanced with IPC reclassification in Japanese
Web Page for STN Seminar Schedule - N. America
WPIDS/WPIX enhanced with new FRAGHITSTR display format
CASREACT coverage extended
WARPAT now updated daily
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                      CAplus enhanced with pre-1967 CAS Registry Numbers
                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                         29 JUNE 2007: CURRENT WINDOWS VERSION IS VB.2. CURRENT MACHINGEN VERSION IS VG.0C (ENG) AND VG.0JC(JP), AND CHRENT DISCOVER FILE IS DATED 05 JULY 2007.
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USPATFULL/USPAT2 enhanced with IPC reclassification
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<12/04/2007>

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Structure attributes must be viewed using STN Express query preparation.

<12/04/2007>

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100.0% PROCESSED 30115 ITERATIONS SEARCH TIME: 00.00.01

3551 ANSWERS

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TOTAL SESSION 173.15 SINCE FILE ENTRY 172.10 => file caplus COST IN U.S. DOLLARS FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 12:57:32 ON 31 JUL 2007
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1143 12 => s 12 full L3 11 => s 13 and 2-pyrrolidone 9237274 2 23762 PYRROLIDONE

753 PYRROLIDONES 24000 PYRROLIDONE

(PYRROLIDONE OR PYRROLIDONES) 14202 2-PYRROLIDONE

(2(W) PYRROLIDONE) 8 L3 AND 2-PYRROLIDONE

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L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:675149 CAPLUS
10.507415
TITLE: Methods for producing quinazoline alkaloids

<12/04/2007>

Erich Leese

## NSTANT OSSM

10/513699

Moormann, Joachim; Hoffmann, Hans-Rainer; Matusch, Rudolf
HF Arzneimittelforschung G.m.b.H., Germany
PCT Int. Appl., 23 pp.
Pctatnt
Patent
German INVENTOR(S):

PATENT ASSIGNEE (S):

SOURCE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: DOCUMENT TYPE:

PA	PATENT NO.	<u>.</u>			KIND		DATE		~	APPL	CAT	APPLICATION NO.	o.		i a	DATE		
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OTHER SOURCE(S):	OURCE (	: (S			CASI	REAC	r 14	CASREACT 141:207415	7415									
75																		

The invention relates to a method for producing alkaloid (I) by reacting AB

isatoic anhydride with 2-pyrrolidone, whereby a surplus of 2-pyrrolidone, in relation to isatoic surplus of 2-pyrrolidone, in relation to isatoic anhydride, is used. The invention also relates to a method for producing alkaloid (II), comprised of production of I, reduction reaction to obtain II in 530-53-0P 14053-18-9P 740847-2-0P FR: IMF (Industrial manufacture); RT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (methods for producing quinacoline alkaloids)

H

<12/04/2007>

RN 530-53-0 CAPLUS CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro- (CA INDEX NAME)

144053-18-9 CAPLUS Zincate(2-), tetrachloro-, (T-4)-, dihydrogen, compd. with 1,2,3,9-tetrahydropyrrolo[2,1-b]quinazoline (1:1) (9CI) (CA INDEX NAME) S S

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18923-63-2 C14 Zn . 2 H CCS

CRN CMF

●2 H+

£

CRN 495-59-0 CMF C11 H12 N2

740847-25-0 CAPLUS Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-, sulfate (1:1) (9CI) (CA INDEX NAME) S S

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7664-93-9 H2 O4 S CRN

<12/04/2007>

Erich Leese

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O== OH - S == OH HO == O

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495-59-0 C11 H12 N2 CRN

495-59-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(Preparation)
(methods for producing quinazoline alkaloids)
495-59-0 CAPLUS
Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro- (CA INDEX NAME) H

S S

14 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 2004:41282 CAPLUS DOCUMENT NUMBER: 140:94061
TITLE: Preparation of 7.8.9 10-+++--

Progration of 7,8,9,10-tetrahydro-6H-azepino, 6,7,8,9-tetrahydro-pyrido and 2,3-dihydro-2H-pyrrolo[2,1-b]-quinazolinone derivatives as orexin receptor antagonists and antagonists. Koberstein, Hamed; Clozel, Martine; Fischli, Walter; Koberstein, Ralf; Sifferlen, Thierry; Weller, Thomas Actelion Pharmaceuticals Ltd., Switz.

PATENT ASSIGNEE(S): INVENTOR (S):

Patent English SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

CH, CN, GE, GH, LK, LR, 20030708 BZ, CA, GB, GB, GD, KZ, LC, 1 APPLICATION NO. BA, BB, DZ, EC, JP, KE, MK, MN, AU, AZ, I DK, DM, I IN, IS, 20040115 KIND D2
A1. 20
AM, AT, 1
CZ, DE, 1
ID, IL, 1L, LV, MA, 1 ¥883 WO 2004004733 W: AE, AG, A CO, CR, C GM, HR, H IS, IT, L PATENT NO.

H, CN, nitro, halo, OH, alkyl, etc.; R5 = aryl, aralkyl, alkyl, etc.; R6 = H, alkyl, CF3, etc.; R7 = aryl, aralkyl, alkyl, cycloalkyl, etc.; X = 0, S; Y = (CH2)n; m = 0-3; n = 1-3] are preparated The invention also concerns related aspects including processes for the preparation of the compds., pharmaceutical compns. containing one or more of those compds. and especially Novel 7,8,9,10-tetrahydro-6H-azepino, 6,7,8,9-tetrahydro-pyrido 2,3-dihydro-2H-pyrrolo[2,1-b]-quinazolinone derivs. of formula their ΑB

is. Thus, II was prepared, and had IC50 of receptor and orexin-2 receptor, resp. use as orexin receptor antagonists. Thus, 12 nM and 16 nM against orexin-1 receptor a 642491-20-1P 642491-24-5P 642491-28-9P 642491-28-9P 642491-30-3P 6 642491-33-6P 6 642491-36-9P 6 642491-39-2P 6

10/513699

(preparation of azepino-, pyrido- and pyrrolo-quinazolinone derivs. as orexin receptor antagonists) 491-20-1 CAPLUS RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES 642491-20-1 CAPLUS Urea, N-(1-phenylethyl)-N'-(2-propylphenyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME) 642491-81-4P 642491-82-5P 642492-15-7P 642492-16-8P 642492-17-9P 642492-18-0P 642492-19-1P 642492-21-5P S S

Urea, N'-[1,1'-biphenyl]-2-yl-N-(1-phenylethyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME) 642491-22-3 CAPLUS S S

<12/04/2007>

642491-26-7 CAPLUS
Urea, N'-(2-ethylphenyl)-N-(6-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl}-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) S 23

642491-27-8 CAPLUS Urea, N'-[1,1'-biphenyl]-2-y1-N-(6-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) S S

10/513699

642491-28-9 CAPLUS Urea, N-(6-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME) S S

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<12/04/2007>

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642491-33-6 CAPLUS Urea, N-(7-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME)

Z Z

642491-31-4 CAPLUS Urea, N-(6-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-[2-(1-methylethyl)phenyl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

S 25

i-Pr

642491-34-7 CAPLUS Urea, N-(7-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b)quinazolin-3-yl)-N-(1-phenylethyl)-N'-[2-(trifluoromethoxy)phenyl]- (9Cl) (CA INDEX NAME)

Z Z

642491-32-5 CAPLUS Urea, N-(6-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-1-naphthalenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

S S

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<12/04/2007>

642491-35-8 CAPLUS Urea, N'-[1,1'-biphenyl]-2-yl-N-(7-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) C &

642491-36-9 CAPLUS Urea, N'-(2-ethylphenyl)-N-(7-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b)quinazolin-3-yl)-N-(1-phenylethyl)- (9Cl) (CA INDEX NAME) S 53

10/513699

642491-37-0 CAPLUS Urea, N'-[1,1'-biphenyl]-2-y1-N-(6,7-difluoro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) S S

642491-38-1 CAPLUS Urea, N-(6,7-difluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME) S S

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<12/04/2007>

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642491-41-6 CAPLUS Urea, N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b]quinazolin-3-yl)-N'-1-naphthalenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME). S S

642491-39-2 CAPLUS Urea, N-(6,7-difluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME)

C Z

642491-42-7 CAPLUS Urea, N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-[2-(1-methylethyl)phenyl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) S S

642491-40-5 :CAPLUS Urea, N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-(2-ethylphenyl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

S Z

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<12/04/2007>

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642491-45-0 CAPLUS Urea, N'-[1,1'-bipheny]]-2-y1-N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-y1)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) C. R.

642491-43-8 CAPLUS Urea, N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME)

S S

n-Pr

642491-64-3 CAPLUS Urea, N-(8-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-y1)-N-(1-phenylethy1)-N'-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME) S S

642491-44-9 CAPLUS Urea, N-(7-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

S S

<12/04/2007>

642491-66-5 CAPLUS Urea, N'-[1,1'-biphenyl]-2-y1-N-(8-chloro-1,2,3,9-tetrahydro-9-coxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) C R

642491-68-7 CAPLUS Urea, N-(8-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-[2-(1-methylethyl)phenyl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) CS 2S

10/513699

642491-70-1 CAPLUS Urea, N-(8-chloro-1,2.3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-1-naphthalenyl-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) Z Z

642491-74-5 CAPLUS Urea, N-(8-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME) S S

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642491-75-6 CAPLUS Urea, N-(8-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N'-(2-ethylphenyl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) S S

642491-76-7 CAPLUS Urea, N-(5-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-[(IS)-1-phenylethyl]-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

10/513699

.642491-77-8 CAPLUS Urea, N'-[1,1'-biphenyl]-2-yl-N-(5-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b)quinazolin-3-yl)-N-[(IS)-1-phenylethyl]- (9CI) (CA INDEX NAME)

S S

Absolute stereochemistry.

642491-78-9 CAPLUS Urea, N-(5-fluoro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-y1)-N-[(1S)-1-phenylethyl]-N'-[2-(trifluoromethoxy)phenyl]- (9Cl) (CA INDEX NAME) Z Z

Absolute stereochemistry.

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<12/04/2007>

642491-79-0 CAPIUS Urea, N-[(1S)-1-phenylethyl]-N-[1,2,3,9-tetrahydro-9-oxo-8-(trifluoromethyl)pyrrolo[2,1-b]quinazolin-3-yl]-N'-[2-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

642491-80-3 CAPLUS
Urea, N-[(1S)-1-phenylethyl]-N'-(2-propylphenyl)-N-[1,2,3,9-tetrahydro-9-ox-8-(trifluoromethyl)pyrrolo[2,1-b]quinazolin-3-yl]- (9Cl) (CA INDEX NAME) Z 2.

Absolute stereochemistry.

10/513699

642491-81-4 CAPIUS Lora, N'-(2-ethylphenyl)-N-[(1S)-1-phenylethyl]-N-[1,2,3,9-tetrahydro-9-oxc-8-(trifluoromethyl)pyrrolo[2,1-b]quinazolin-3-yl]- (9CI) (CA INDEX NAME) ₩ S

Absolute stereochemistry.

642491-82-5 CAPLUS Urea, N'-[1,1'-biphenyl]-2-yl-N-[(1S)-1-phenylethyl]-N-[1,2,3,9-tetrahydro-9-oxo-8-(trifiluoromethyl)pyrrolo[2,1-b]quinazolin-3-yl]- (9CI) (CA INDEX NAME) S S

Absolute stereochemistry.

<12/04/2007>

Erich Leese

<12/04/2007>

642492-16-8 CAPLUS Urea, N-(6-chloro-1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)-N-(1-phenylethyl)-N'-(2-propylphenyl)- (9CI) (CA INDEX NAME) Z Z

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642492-17-9 CAPLUS Urea, N-(6,7-difluoro-1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b]quinazolin-3-yl)-n'-(2-ethylphenyl)-N-(1-phenylethyl)- (9CI) (CA INDEX NAME) Z Z

642492-15-7 CAPLUS Urea, N'-1-naphthalenyl-N-(1-phenylethyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b)quinazolin-3-yl)- (9CI) (CA INDEX NAME)

S S

642492-18-0 CAPIUS Urea, N'-[1,1'-biphenyl]-2-yl-N-butyi-N-(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME) 

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RN 642492-19-1 CAPLUS
CN Urea, N-butyl-N'-(2-propylphenyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo(2,1-b)quinazolin-3-yl)- (9CI) (CR INDEX NAME)

RN 642492-20-4 CAPLUS
CN Urea, N'-[1,1'-biphenyl]-2-y1-N-(phenylmethyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME)

RN 642492-21-5 CAPLUS
CN Urea, N'-(2-ethoxyphenyl)-N-(phenylmethyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME)

RN 642492-22-6 CAPLUS
CN Urea, N-(phenylmethyl)-N-(2-propylphenyl)-N-(1,2,3,9-tetrahydro-9-oxopyrrolo[2,1-b]quinazolin-3-yl)- (9CI) (CA INDEX NAME)

Œ

(preparation of azepino-, pyrido- and pyrrolo-quinazolinone derivs. as orexin receptor antagonists)
-53-0 CAPLUS RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(CA INDEX NAME) 530-53-0 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-

S S

55727-49-6 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-chloro-2,3-dihydro- (9CI) NAME) S S

60811-39-4 CAPLUS Pyrrolo{2,1-b]quinazolin-9(1H)-one, 7-chloro-2,3-dihydro-S S

10/513699

(CA INDEX NAME) 71540-68-6 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-2,3-dihydro-S S

380638-36-8 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME) S 83

642491-83-6 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 5-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME) Z 5

642491-84-7 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME) S S

<12/04/2007>

RN 642491-85-8 CAPLUS CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6,7-difluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 642491-86-9 CAPLUS CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 8-chloro-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 642491-87-0 CAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-8-(trifluoromethyl)- (9CI)
(CA INDEX NAME)

RN 642491-88-1 CAPLUS CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-5-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

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RN 642491-89-2 CAPLUS CN Pyrcolo[2,1-b]quinazolin-9(lH)-one, 3-bromo-6-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

RN 642491-90-5 CAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-7-fluoro-2,3-dihydro- (9CI)
(CA INDEX NAME)

RN 642491-91-6 CAPLUS
CN Pyrcolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-6,7-difluoro-2,3-dihydro-(9CI) (CA INDEX NAME)

RN 642491-92-7 CAPLUS
CN Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-6-chloro-2,3-dihydro- (9CI)
(CA INDEX NAME)

<12/04/2007>

642491-93-8 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-7-chloro-2,3-dihydro- 4CA INDEX NAME) Z Z

642491-94-9 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-8-chloro-2,3-dihydro- (9CI) (CA INDEX NAME) C R

642491-95-0 CAPIUS
Pyrcolo[2,1-b]quinazolin-9(1H)-one, 3-bromo-2,3-dihydro-8-(trifluoromethyl)- (9CI) (CA INDEX NAME) Z 23

642491-96-1 CAPLUS
Pyrrolo{2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[(1-phenylethyl)amino]-(9CI) (CA INDEX NAME) Z 2

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642491-97-2 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6-fluoro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) S S

642491-98-3 CAPIUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 7-fluoro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) S S

642491-99-4 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 6,7-difluoro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) C. Z.

<12/04/2007>

642492-00-0 CAPLUS Pyrrolo[2,1-b]guinazolin-9(1H)-one, 6-chloro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) CN PS

642492-01-1 CAPLUS Pyrrolo(2,1-b)quinazolin-9'(1H)-one, 7-chloro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) S S

642492-02-2 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 8-chloro-2,3-dihydro-3-[(1-phenylethyl)amino]- (9CI) (CA INDEX NAME) Z Z

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642492-03-3 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-[[(1S)-1-phenylethyl]amino]-8-(trifluoromethyl)- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

642492-04-4 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 5-fluoro-2,3-dihydro-3-[[(1S)-1-phenylethyl]amino]- (9CI) (CA INDEX NAME) C Z

Absolute stereochemistry.

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2007 ACS on STN
1998:187229 CAPLUS
128:270591 Chemoselectivity in the intramolecular aza-Wittig
reaction of N-[2-(trisubstituted
phosphoranylidene] aninhobenzoyl]-2pyrcolidone-2-carboxylic acid derivatives
okawa, Tomohiro; Sugimori, Toshiyuki; Eguchi, Shoji; L4 ANSWER 3 OF 8 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE: REFERENCE COUNT: AUTHOR (S):

<12/04/2007>

CORPORATE SOURCE:

Kakehi, Akikazu Dep. Molecular Design Eng., Grad. Sch. Eng., Nagoya Univ., Nagoya, 446-01, Japan Uriv., Nagoya, 446-01, 47(1), 315-382 CODEN: HTCYAM; ISSN: 0385-5414

Japan Institute of Heterocyclic Chemistry

English CASREACT 128:270591 Journal

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

SOURCE:

The intramol. aza-Wittig reaction of phosphoranes prepared from the pyrrolidinone I [R = OMe] gave the pyrrolo[2,1-c][1,4]benzodiazepine derivative chemoselectively, whereas phosphoranes derived from I [R = NEL2] gave the pyrrolo[2,1-b] quinazoline derivative as the only product. 104769-61-1P 182616-83-7P RL: SPN (Synthetic preparation): PREP (Preparation) (chemoselectivity in the intramol. aza-Wittig reaction of phosphoranylideneaminobenzoylpyrrolidones) Æ

II

Pyrroloi2,1-bjquinazoline-1-carboxylic acid, 1,2,3,9-tetrahydro-9-oxo-, methyl ester, (S)- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry. Rotation (-).

182616-83-7 CAPLUS
Pyrrolo[2,1-b]quinazoline-1-carboxamide, N.N-diethyl-1,2,3,9-tetrahydro-9-oxo-, (S)- (9CI) (CA INDEX NAME) Z Z

Rotation (-). Absolute stereochemistry. Erich Leese

10/513699

THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT **5**6

REFERENCE COUNT:

S COPYRIGHT 2007 ACS on STN 1990:77672 CAPLUS 112:77672 CAPLUS L4 ANSWER 4 OF 8 ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

Quantitative HPLC procedure for studying the cyclocondensation of 2-pyrrolidone

with anthramilic acid
Nuriddinov, Kh. R.; Sargazakov, K.; Abdullaev, Sh.
Inst. Khim. Rastit. Veshchestv, USSR
CODEN: Prircdnykh Soedinenii (1989), (2), 293-4
CODEN: RPSUAR: ISSN: 0023-1150 AUTHOR(S): CORPORATE SOURCE: SOURCE:

Journal

DOCUMENT TYPE:

CASREACT 112:77672 LANGUAGE: OTHER SOURCE(S)

Deoxyvasicinone preparation by title reaction was monitored by HPLC separation ΑB

II

UV spectrophotometry at 254 nm.
530-23-0P, Deoxyvasidatione
RE: SPN (Synthetic preparation): PREP (Preparation)
(preparation of, by cyclocondensation reaction of anthranilic acid with
synthyloginome, HPLC anal. of)

(CA INDEX NAME) 530-53-0 CAPLUS
Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-S S

ANSWER 5 OF 8 CAPLUS COPPRIGHT 2007 ACS on STN
5SSION NUMBER: 1935.33603
5PENT NUMBER: 29:33603
5PENT NUMBER: 29:43653-c
5PENENCE NO.: 29:43653-c
5PENENCE NO.: Structure of vasicine. III. Position of the hydroxyl
EE:

L4 ANSWER 5 OF 8 CAPL ACCESSION NUMBER: DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

TITE:

group Morris, R. C.; Hanford, W. E.; Adams, R. Journal of the American Chemical Society (1935), 57, 951-4

AUTHOR(S): SOURCE:

CODEN: JACSAT; ISSN: 0002-7863

MENT TYPE: Journal Journal UMGE: Water of variable of vasicine (1) with H2O2 in Me2CO gives 2,3-( $\alpha$ -DOCUMENT TYPE: LANGUAGE: AB Oxidation

<12/04/2007>

2-(y-phenoxypropyl) 4-quinazolone, light yellow, m. 181°; the action of HBr followed by alkali gives IV. Oxidation of IV with Pb(OAc)4 in C6H6 gives II. These facts indicate that the HO in I is on the CH2 one (II), m. 213-4°; a mixture with I product reported by Ghose (C. A. 27, m. 168-70° and this may be the product reported by Ghose (C. A. 27, 510). Oxidation of desoxyvasicine (III) gives 2,3-trimethylene-4-guinazolone (IV), m. 110-10.5°; benzal derivative, yellow, m. 137-9°. II and SOC12 give the  $\alpha$ -Cl derivative, m. 109°, which is reduced by Zn and AcOH to III. O-(Y-Phenoxybutyrylamino) benzamide, m. 150°; heating to 230-5° gives hydroxytrimethylene)-4-quinazolone m. 168-70° and this may be the proc

-59-0, Vasicine, desoxy- 6159-55-3, Vasicine (oxidation of) -59-0 CAPLUS attached to the 2-C atom. 495-59-0, II

495-59-0

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro- (CA INDEX NAME) S 25

6159-55-3 CAPLUS
Pyrrolo[2,1-b]quinazolin-3-ol, 1,2,3,9-tetrahydro-, (3S)- (9CI) (CA INDEX NAME) Z Z

Absolute stereochemistry.

530-53-0P, Pyrrolo[2,1-b]quinazolin-9(1)-one, 2,3-dihydro-1859-16-1P, Pyrrolo[2,1-b]quinazolin-9(1)-one, 3-benzal-2,3-dihydro-35387-16-1P, Pyrrolo[2,1-b]quinazolin-9(1)-one, 2,3-dihydro-2-hydroxy-65636-69-3P, Pyrrolo[2,1-b]quinazolin-9(1)-one, 3-chloro-2,3-dihydro-1PRE, PREP (Freparation) II

Z Z

(CA INDEX NAME) (preparation of) 530-53-0 CAPLUS Pyrrolo[2,1-b]quinazolin-9(IH)-one, 2,3-dihydro-

18549-16-1 CAPLUS
Pyrrolo(2,1-b)quinazolin-9(iH)-one, 2,3-dihydro-3-(phenylmethylene)- (9CI) Z Z

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(CA INDEX NAME)

35387-16-7 CAPLUS Pyrrolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-hydroxy- (CA INDEX NAME) Z Z

65636-69-3 CAPLUS
Pyrrolo(2,1-b)quinazolin-9(1H)-one, 3-chloro-2,3-dihydro- (9CI) (CA INDEX
NAME) S S

COPYRIGHT 2007 ACS on STN 1935 ANSWER 6 OF 8 L4 ANSWER 6 OF ACCESSION NUMBER

29:4364g-i,4365a DOCUMENT NUMBER: ORIGINAL REFERENCE NO.:

Structure of vasicine. II. Synthesis of desoxyvasicine Hanford, W. E.; Adams, Roger Journal of the American Chemical Society (1935), 57, AUTHOR(S): SOURCE:

CODEN: JACSAT; ISSN: 0002-7863

Journal

Unavailable 3. 29, 797.3. Ph0(CH2)3C02H, through the chloride, b20 yields the amide, m. 113°; the o-nitrobenzylamide m. Cf. C. A. 29, 797.3. PhO(CH2)3CO2H, through the chloride 154-6', yields the amide, m. 113'; the o-nitrobenzylamide 75-6' (83.4% yield); catalytic reduction gives the o-aminobenzylamide, m. 97.5-8' (96.5% yield); heating at 270' for 30 min. gives 2- $\gamma$ -phenoxypropyl-3,4-DOCUMENT TYPE: LANGUAGE: AB cf. C. A.

<12/04/2007>

dihydroquinazoline(desoxyvasicine) [1], m. 96.5-7.5; HCI salt, m. 260° (246° on block); picrate, m. 205-6; oxalate, m. 260° (246° on block); picrate, m. 205-6; oxalate, m. 260° (246° on block); picrate, m. 205-6; oxalate, m. 260° (246° on block); picrate, m. 205-6; oxalate, m. 260° (246° on block); picrate, m. 205-6; oxalate, m. 260° (246° on block); picrate, m. 26-6; oxalate, m. 260° (248° yield); lishygroscopic and in a few min. the m. 250° (246° yield); alkali gives N-benzylamide, m. 68° (448° yield); alkali gives N-benzylpyrrolidone, m. 26° (448° yield); alkali gives N-benzylpyrrolidone, m. 130° (148° yield); yields N-Onitrobenzylpyrrolidone, light yellow, m. 100° (148° yield); the NH2 derivative, m. 63-5°; heating at 245-55° gives unchanged -trimethylene-3,4replacement of the PhO

Desoxyvasicine 6159-55-3P, Vasicine

35387-16-7P, Pyrrolo[2,1-b]quinazolin-9(1)-one, 2,3-dihydro-3-hydroxy-

Ħ

RL: PREP (Preparation) (preparation of) 495-59-0 S 5

Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-

(CA INDEX NAME)

6159-55-3 CAPLUS Pyrrolo[2,1-b]quinazolin-3-ol, 1,2,3,9-tetrahydro-, (3S)- (9CI) (CA INDEX NAME) S S

Absolute stereochemistry.

35387-16-7 CAPLUS Pyrcolo[2,1-b]quinazolin-9(1H)-one, 2,3-dihydro-3-hydroxy-NAME) Z Z

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For diagram(s), see printed CA Issue. cf. C. A. 29, 3678.7. It has been shown that peganine is a 3-, 2- or 8-HO derivative of That it is the 3-HO derivative is shown by the following 29:30926 29:40153,4016a-c 29:40153,4016a-c Spath, Ernst; Kuffner, Friedrich: Platzer, Norbert Berichte der Deutschen Chemischen Gesellschaft Erkeilung] B. Abhandlungen (1935), 68B, 699-702 CODEN: BDCBAD; ISSN: 0365-9488 Me 4-phthalimidobutyrate, b. 85-8°, obtained in 54.1% yield from Me 4-bromobutyrate and G6H4 (CO) 2NK in xylene at 190-200°, yields with H2SO4 57.9% of the free acid, m. 113-15°, this with red P and Br and subsequent treament with Baccol in boiling water gives 80.1% 4-phthalimido-2- hydroxybutyric acid, m. 147-8°, which is 4-phthalimido-2- hydroxybutyric acid, m. 147-8°, which is hydrolyzed with 25% HCl at 100° to the amino acid and esterified with HCl-MeOH to the Me ester; the ester is condensed with o-5° and 1-o-nitrobenzyl-3-hydroxy-2-pyrrolidone, m. 84-which is reduced by SnC12-AcOH-HC1 to peganine, m. 120-1', does not depress the m. p. of the natural alkaloid. B. 211-12', does (constitution of) 2007, ACS on STN 1935:30926 CAPLUS Unavailable L4 ANSWER 7 OF 8 CAPLUS ACCESSION NUMBER: 19 ORIGINAL REFERENCE NO.: AUTHOR(S): synthesis: LANGUAGE: GI For C AB cf. C SOURCE: H

Absolute stereochemistry.

(CA INDEX

6159-55-3 CAPIUS Pyrrolo[2,1-b]quinazolin-3-ol, 1,2,3,9-tetrahydro-, (3S)- (9CI) NAME)

S S

Constitution of peganine (vasicine)
Spath, Ernsr; Kuffner, Friedrich; Platzer, Norbert
Berichte der Deutschen Chemischen Gesellschaft
Abbacilung) B: Abhandlungen (1935), 68B, 497-501
CODEN: BDCBAD; ISSN: 0365-9488 29:28312 29:3678g-i,3679a-c 935:28312 Journal L4 ANSWER 8 OF 8 CAPLUS ACCESSION NUMBER: 19 ORIGINAL REFERENCE NO.: DOCUMENT NUMBER DOCUMENT TYPE: TITLE: AUTHOR(S):

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LANGUAGE: GI For c AB cf. C

UAGE:
For diagram(s), see printed CA Issue.
For diagram(s), see printed CA Issue.
Cf. C. A. 28, 7259.2; Direct comparison
of peganine (I) with a sample of N. and R.'s original vasicine proved that

the substances were identical; after sublimation in a high vacuum they had the same m. ps. and maked m. ps. A weak point in the structure the same m. ps. and maked m. ps. A weak point in the structure preference in an earlier paper (C. A. 28, 1704.9) was that the supposed 3-ally1 group could not be hydrogeneded catalytically, and the structures III and IV were also suggested as possibilities. 3-Ally1-1,2,3,4—chandral 49, 569 (1893), proved not to be identical with the reduction product of I; I can therefore not have the structure II. Reynolds and Robinson (C. A. 28, 6442.1) came to the same conclusion; they give the preference to structure III. To clear up the question of the structure of the skeleton of I, the authors synthesized the base V by condensing Me + aminobutyrate (obtained by refluxing pyrrolidone with aqueous Ba(GH)2 and esterflying the product with MeOH-HCI) with o-O2N/C6HGH2C1, and reducing the resulting N-(o-nitrobenzyl)pyrrolidone, m. 102-3°, bo.01
130-5° (bath temperature), with Sn(12+GH) to the anino compound, bo.01
130-5° (bath temperature), m. 74-5-5.5°, which was smoothly converted by boiling POCI3 into V, bo.02 100° (bath temperature), m. 99-100° in evacuated capillaries. The structure of V, which is also obtained from chlorodesoxypagenine with 2n dust in acids, was proved by by reduction to the 110-dihydro derivative, m. 71-2° (evacuated tubes) (Lewin, Arch. exptl. Path. Pharmakol. 34, 374 (1894)). I is to be considered as a HO derivative of V; the HO in position 3, as shown in formula IV, would most simply explain the reactions of I, although formulas with the HO at 2 or 5 are equally plausible. Efforts will be made to determine this point definitely by synthesis.

615-55-3, Peganine (constitution of)

II

S S

6159-55-3 CAPLUS pyrrolo[2,1-b]quinazolin-3-ol, 1,2,3,9-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

495-59-0P, Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-RL: PREP (Preparation) (preparation of) 495-59-0 CAPLUS Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro- (CA INDEX Pyrrolo[2,1-b]quinazoline, 1,2,3,9-tetrahydro-II

Z Z

(CA INDEX NAME)

=> d his

<12/04/2007>

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12 27

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<12/04/2007>

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                New CAS web site launched
NEWS 13 MAY 08
                CA/CAplus Indian patent publication number format defined
NEWS 14 MAY 14
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                LEMBASE coverage updated
NEWS 23 JUL 02
                LMEDLINE coverage updated
NEWS 24 JUL 02
                SCISEARCH enhanced with complete author names
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STRUCTURE FILE UPDATES: 30 JUL 2007 HIGHEST RN 943719-65-1 DICTIONARY FILE UPDATES: 30 JUL 2007 HIGHEST RN 943719-65-1

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L1 STRUCTURE UPLOADED

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·L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> file casreact COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.45 0.66

FULL ESTIMATED COST

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FILE CONTENT: 1840 - 28 Jul 2007 VOL 147 ISS 6

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STRUCTURE UPLOADED L2

=> s 12 full FULL SEARCH INITIATED 12:41:03 FILE 'CASREACT' SCREENING COMPLETE -159 REACTIONS TO VERIFY FROM

24 DOCUMENTS

159 VERIFIED 2 HIT RXNS 2 DOCS 100.0% DONE

SEARCH TIME: 00.00.01

2 REACTIONS) L3 2 SEA SSS FUL L2 (

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L3ANSWER 1 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

141:207415 CASREACT

TITLE:

Methods for producing quinazoline alkaloids

INVENTOR(S):

Moormann, Joachim; Hoffmann, Hans-Rainer; Matusch,

Rudolf

PATENT ASSIGNEE(S):

HF Arzneimittelforschung G.m.b.H., Germany

SOURCE:

PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DAMINAM MA

	PATENT NO.				KIND DATE					APPLICATION NO. DATE								
	WO	2004	0698:	36	A1 20040819					, W	20	04-E	P485	20040122				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,
			LR,	LS,	LT,	LU,	LV,	ΜA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,	NI,	NO
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,
															HU,			
			MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,
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		R:																PT,
		IE, SI,																
	BR	2004	A 20060110					В	R 20	04-7	012		2004	0122				
	CN	1745084			A 20060308				C	N 20	04-8	0003	418	20040122				
	JΡ	2006516578			T		2006	0706		JP 2006-501573					20040122			
										NO 2005-3689								
	MΧ	2005	PA08	179	A		2006	0217							20050729			
	US	2006	0846	69	A	1	2006	0420										
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GI

AB The invention relates to a method for producing alkaloid (I) by reacting isatoic anhydride with 2-pyrrolidone, whereby a surplus of 2-pyrrolidone, in relation to isatoic anhydride, is used. The invention also relates to a method for producing alkaloid (II), comprised of production of I, reduction reaction to obtain II in the form of a salt and release of II from the salt

RX(1) OF 6 A + B ===> C...

RX(1) RCT A 118-48-9, B 616-45-5

PRO C 530-53-0

CON SUBSTAGE(1) room temperature -> 100 deg C

SUBSTAGE(2) 1 hour, 100 deg C

SUBSTAGE(3) 5 hours, 155 - 160 deg C

SUBSTAGE(4) 170 - 180 deg C

SUBSTAGE(5) 180 deg C -> 50 deg C

SUBSTAGE(6) 50 - 100 hours, room temperature

NTE no solvent

L3 ANSWER 2 OF 2 CASREACT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

137:93881 CASREACT

TITLE:

Microwave-assisted rapid synthesis of the cytotoxic

alkaloid luotonin A

AUTHOR (S):

Yadav, J. S.; Reddy, B. V. S.

CORPORATE SOURCE:

Organic Chemistry Division-I, Indian Institute of

Chemical Technology, Hyderabad, 500007, India Tetrahedron Letters (2002), 43(10), 1905-1907

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

SOURCE:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE: ·

English

GI

AB The total synthesis of the cytotoxic alkaloid luotonin A (I) was achieved for the first time in high yields by the cyclocondensation of 3-oxo-1H-pyrrolo[3,4-b] quinoline with isatoic anhydride in solvent-free conditions under microwave irradiation

RX(5) OF 5 J + B ===> K

RX(5) RCT J 616-45-5, B 118-48-9

PRO K 530-53-0

NTE microwave irradn.

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT